PCT

REC'D	1 5 APR	2005
WIPO		PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference	EOD ELIDETTED ACTION	C F F	OCTUTO 7 4 /41 C
100888-1 WO	FOR FURTHER ACTION		PCT/IPEA/416 :
International application No.	International filing date (day/n	nonth/year)	Priority date (day/month/year)
PCT/SE 2003/002005	18.12.2003		20.12.2002
International Patent Classification (IPC) of			
C07D211/58, 401/06, 4	01/12, 405/06, 4	05/12, 4	A61K31/4468, 31/4523,
A61P1/00, 11/00, 17/0	0, 19/00		
Applicant			
AstraZeneca AB et al			
1. This report is the international pre	liminary avamination manual	4-1-11-1	·
Authority under Article 35 and tra	ansmitted to the applicant accor	ding to Article	
2. This REPORT consists of a total of	of 6 sheets, inch	iding this cover	sheet.
3. This report is also accompanied by	y ANNEXES, comprising:		
a. (sent to the applicant	and to the International Bureat	() a total of	sheets, as follows:
sheets of the c	lescription, claims and/or drawi	ngs which have	been amended and are the basis of this report
and/or sheets	containing rectifications author. e Instructions).	ized by this Aut	thority (see Rule 70.16 and Section 607 of the
sheets which	supersede earlier sheets, but wh	ich this Authori	ity considers contain an amendment that goes
beyond the dis Supplemental	sclosure in the international app	lication as filed	l, as indicated in item 4 of Box No. I and the
b. (sent to the Internation	nal Bureau only) a total of (ind	icate time and n	umber of electronic comics(e)
			and/or tables related thereto, in computer
readable form only, as Administrative Instru	s indicated in the Supplemental	Box Relating to	o Sequence Listing (see Section 802 of the
4. This report contains indications re-	lating to the following items:		
	the report		
Box No. II Priority			
Box No. III Non-est	ablishment of opinion with rega	ırd to novelty, i	nventive step and industrial applicability
Box No. IV Lack of	unity of invention		
Box No. V Reasoned statement under Article 35(2) with regard applicability; citations and explanations supporting			novelty, inventive step or industrial
	documents cited	supporting suc	n statement
Box No. VII Certain	defects in the international appl	ication	
Box No. VIII Certain	observations on the internationa	al application	
Date of submission of the demand	T.,		
2 are or successfor or the demand	Date	of completion of	of this report
22.06.2004	30	03.2005	
Name and mailing address of the IPEA/SE		orized officer	
Patent- och registreringsverket		orized officer	
Box 5055 S-102 42 STOCKHOLM		w_1	
Facsimile No. +46 8 667 72 88		Johans	
Form PCT/IPEA/409 (cover sheet) (January 2004)			

INTERNATIONAL PRESENTABILITY

national	application No.
PCT/SE	2003/002005

Bo	x No. I	Basis of the report	
1.	With	regard to the language, this report is based on the international application in the language in which it was f wise indicated under this item.	iled, unle
	Ц	This report is based on a translation from the original language into the following language which is the language of a translation furnished for the purposes of:	,
1		international search (under Rules 12.3 and 23.1(b))	
		publication of the international application (under Rule 12.4)	
		international preliminary examination (under Rules 55.2 and/or 55.3)	
2.		regard to the elements of the international application, this report is based on (replacement sheets which hed to the receiving Office in response to an invitation under Article 14 are referred to in this report as "origin not annexed to this report):	have bee nally filea
1		the international application as originally filed/furnished	
l	Ш	the description:	
1		pages as originally filed/fu	ırnished
		pages received by this Authority on	
		received by this Authority on	
	Ш	the claims:	
1		pages as originally filed/fu	rnished
1		pages* as amended (together with any statement) under A	article 19
		received by this Authority on	
		received by this Authority on	
		the drawings:	
		pages as originally filed/fur	rnished
		pages* received by this Authority on pages*	
		received by this Authority on	
	Щ	a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing.	
3.		The amendments have resulted in the cancellation of:	
		the description, pages	
		the claims, Nos.	
		the drawings sheets/figs	
		the sequence listing (specify):	
		any table(s) related to the sequence listing (specify):	
4.		This report has been established as if (some of) the amendments annexed to this report and listed below had made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental F 70.2(c)).	not been Box (Rule
		the description, pages	
		the description, pages the claims, Nos.	
		the drawings, sheets/figs	
		the sequence listing (specify):	
		any table(s) related to the sequence listing (specify):	
* į	f item 4	applies, some or all of those sheets may be marked "superseded."	
Form 1	PCT/IPI	EA/409 (Box No. I) (January 2004)	

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

Box No.	III Non-establishment of opinion w	ith regard to novelty, inventive step and industrial applicability
The ques	stions whether the claimed invention appeale have not been examined in respect of:	ars to be novel, to involve an inventive step (to be non obvious), or to be industrially
	the entire international application	· ·
\boxtimes	claims Nos. 14	
becau	ise:	
\boxtimes	the said international application, or the relate to the following subject matter whi	said claims Nos. 14 ich does not require an international preliminary examination (specify):
ani		Methods for treatment of the human or or therapy, as well as diagnostic
	the description, claims or drawings (indicare so unclear that no meaningful opinion	cate particular elements below) or said claims Nosn could be formed (specify):
	the claims, or said claims Nos. by the description that no meaningful opi	are so inadequately supported inion could be formed.
	no international search report has been es	stablished for said claims Nos.
	the nucleotide and/or amino acid sequence Administrative Instructions in that:	ce listing does not comply with the standard provided for in Annex C of the
	the written form	has not been furnished
		does not comply with the standard
	the computer readable form	has not been furnished
	the tables related to the nucleotide and/or the technical requirements provided for i	does not comply with the standard ramino acid sequence listing, if in computer readable form only, do not comply with n the Annex C-bis of the Administrative Instructions.
	See Supplemental Box for further details	

INTERNATIONAL PREDICTIONARY REPORT ON PATENTABILITY

national application No.

PCT/SE 2003/002005

Во	x No. V	Reasoned statement t citations and explana	under Article tions supporti	35(2) with regard to novelty, inventive step or industrial applicabiliting such statement	ty;
1.	Statement				
	Novel	ty (N)	Claims Claims	1-13	YES NO
	Invent	tive step (IS)	Claims Claims	1-13	YES NO
	Indust	rial applicability (IA)	Claims Claims	1-13	YES NO

2. Citations and explanations (Rule 70.7)

The following documents are cited in the search report:

D1 WO 0187839 A1

D2 EP 903349 A2

D3 WO 0192227 A1

D4 WO 02079156 A1

D5 EP 10132276 A1

The claimed invention relates to novel piperidine derivatives, which act as modulators of chemokine receptor activity, especially CCR5.

The document D1 is regarded as being the closest prior art to the subject-matter of claims, and discloses structurally close piperidine derivatives, which act as modulators of chemokine receptor activity, especially CCR5.

The novel piperidine derivatives differs from D1 only in that an amido-group is bound to a keto-group which is bound to a carbon atom in the piperidine-ring, while the amido-group in the known compounds is bound through the nitrogen-atom to a carbon-atom in the piperidine-ring.

The compounds in D1 have the same therapeutical use as the known and thus solve the same problem as the known compounds.

In the light of the prior art and having regarded the present description and claims, the problem underlying the present application is to find further compounds, which act as

.../...

Supplemental Box

In case the space in any of the preceding boxes is not sufficient. Continuation of: BOX V

modulators of chemokine receptor activity, especially CCR5.

The solution proposed in claims 1-13 of the present application cannot be considered as involving an inventive step (Article 33(3) PCT) for the following reasons.

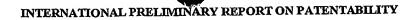
It is common practice to synthesise analogues of known compounds. In the present case D1, as well as this application, may serve as examples.

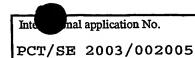
The selected novel compounds must show an unexpected and advantageous effect over the prior art. No such effect has been demonstrated for the present compounds. In the absence of relevant biological activity data to the support of the compounds, no inventive step can be acknowledged for the entire scope of the claims. The basis for recognition of inventive step of the present compounds over the closest prior art might lie in the assessment that, particularly in the realm of biologically active compounds, even small structural modifications may cause dramatic changes in activity.

However, the structural differences between the compounds of the prior art D1 and the present compounds are at least as great as the structural differences between the latter compounds and the present, structurally more remote compounds.

Thus, the claims 1-13 are novel but lack inventive step. The claims have industrial applicability.

D2-D5 disclose the general state of the art and are not considered to be particular relevant.





Box No. VIII Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

Claims 1, 2, partly 4-9 and 10-13 are not supported by the description as required by Article 6 PCT, as their scope is broader than justified by the description and drawings. The reasons therefore are the following: The examples show only two kinds of structures (table I-V).